

# PATENT COOPERATION TREATY

## PCT *corrected* INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference EX04-017C-PC	<b>FOR FURTHER ACTION</b> <small>see Form PCT/ISA/220 as well as, where applicable, item 5 below.</small>	
International application No. PCT/US04/23762	International filing date ( <i>day/month/year</i> ) 23 July 2004 (23.07.2004)	(Earliest) Priority Date ( <i>day/month/year</i> ) 23 July 2003 (23.07.2003)
Applicant EXELIXIS, INC.		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 6 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the Report**

a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ The international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. ☐ With regard to any nucleotide and/or amino acid sequence disclosed in the international application, see Box No. I.

2. ☐ Certain claims were found unsearchable (See Box No. II)

3. ☒ Unity of invention is lacking (See Box No. III)

4. With regard to the title,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. With regard to the drawings,

a. the figure of the drawings to be published with the abstract is Figure No. \_\_\_\_

☐ as suggested by the applicant.

☐ as selected by this Authority, because the applicant failed to suggest a figure.

☐ as selected by this Authority, because this figure better characterizes the invention.

b. ☒ none of the figures is to be published with the abstract.

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### Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

### Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:  
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1 and 23 - 25

Remark on Protest

☐  
☐

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

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## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/437; C07D 471/04; A61P 29/00, 35/00

US CL : 514/300, 303; 546/113, 117, 118, 119, 120

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/300, 303; 546/113, 117, 118, 119, 120

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Database CAPLUS on STN, AN 1995:252332, BOYD et al. 'Preparation of arylindoles, benzimidazoles, and indazoles as angiotensin II antagonists,' abstract, EP 574 174 A2, 15 December 1993 (15.12.1993), see entire abstract	1
X	US 2003/0028018 A1 (RENHOWE et al.)06 February 2003 (06.02.2003), page 47, Examples 46 - 48	1, 23, 24
X	JP 06199855 A2 (NIHON NOHYAKU CO LTD, JAPAN) 19 July 1994 (19.07.1994), pages 13 - 17	1, 23, 24
X	Database CAPLUS on STN, AN 1995:382661, TAKAHASHI et al. 'Preparation and formulation of azaindoles as ulcer inhibitors,' abstract, JP 06247966 A2, 06 September 1994 (06.09.1994), see entire abstract	1, 23, 24
X	Database CAPLUS on STN, AN 2001:730742, UCHIKAWA et al., 'Preparation of pyrazolopyridines as Th1/th2-selective immune response regulators,' abstract, WO 2001072749 A1, 04 October 2001 (04.10.2001), see entire abstract	1, 23, 24

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

### \* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

08 February 2005 (08.02.2005)

Date of mailing of the international search report:

17 JUN 2005

Name and mailing address of the ISA/US

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### BOX III. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group 1, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=NR7$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 2, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 3, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=O$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 4, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=O$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 5, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=S$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 6, claim(s) 1, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=S$ ,  $R2$  and  $R3$  do not form a ring, the composition and method of use thereof.

Group 7, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 8, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 9, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 10, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 11, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 12, claim(s) 1 - 3, 23-25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 5-membered carbocyclic ring, the composition and method of use thereof.

Group 13, claim(s) 7 - 22, and claims 1 - 6, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

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Group 14, claim(s) 1 - 6, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

Group 15, claim(s) 1 - 5, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

Group 16, claim(s) 1 - 5, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

Group 17, claim(s) 1 - 5, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

Group 18, claim(s) 1 - 5, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 6-membered carbocyclic ring, the composition and method of use thereof.

Group 19, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 20, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 21, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 22, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 23, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 24, claim(s) 1 - 3, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 5-membered heterocyclic ring, the composition and method of use thereof.

Group 25 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

Group 26 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=NR7$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

Group 27 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

Group 28 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=O$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

Group 29 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=N$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

Group 230 claim(s) 1 - 4, 23 - 25 in part, drawn to a compound of formula I wherein  $Y=C$ ,  $X3=S$ ,  $R2$  and  $R3$  form a 6-membered heterocyclic ring, the composition and method of use thereof.

This International Searching Authority considers that the international application does not comply with the requirements of unity of invention (Rules 13.1, 13.2, and 13.3) for the reasons indicated below:

The inventions listed as Groups 1 - 30 do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons:

Groups 1 - 6 are drawn to various bicyclic heterocyclic compounds with different number and kind of heteroatoms. Groups 7 - 12 are drawn to a tricyclic compound formed by fusing the bicyclic compound of Group 1 with a 5-membered carbocyclic ring. Groups 13 - 18 are drawn to a tricyclic compound formed by fusing the bicyclic compound of Group 1 with a 6-membered carbocyclic ring. Groups 19 - 24 are drawn to a tricyclic compound formed by fusing the bicyclic compound of Group 1 with a 6-membered heterocyclic ring. Groups 25 - 30 are drawn to a tricyclic compound formed by fusing the bicyclic compound of Group 1 with a 6-membered heterocyclic

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ring. The compounds of Groups 1 - 30 would not have been of sufficient similarity to allow the Markush grouping exhibiting unity, absent some teaching of equivalence in the prior art.